

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
11 August 2005 (11.08.2005)

PCT

(10) International Publication Number
WO 2005/073222 A1

(51) International Patent Classification⁷: **C07D 405/14**,
401/12, A61K 31/506, 31/513, A61P 1/00, 25/00, 9/00

5-gochi, Taketoyo-cho, Chita-gun, Aichi-ken 470-2393 (JP).

(21) International Application Number:
PCT/IB2005/000173

(74) Agents: FULLER, Grover, F., Jr. et al.; Pfizer Inc., 201 Tabor Road, Morris Plains, NJ 07950 (US).

(22) International Filing Date: 18 January 2005 (18.01.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/540,143 29 January 2004 (29.01.2004) US

(71) Applicant (for JP only): PFIZER JAPAN, INC. [JP/JP];
Shinjuku Bunka Quint Bldg., 3-22-7, Yoyogi, Shibuya-ku,
Tokyo 151-8589 (JP).

(71) Applicant (for all designated States except JP, US):
PFIZER INC. [US/US]; 235 East 42nd Street, New York,
NY 10017 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): UCHIDA, Chikara
[JP/JP]; Pfizer Global Research and Development, 2, Aza
5-gochi, Taketoyo-cho, Chita-gun, Aichi-ken 470-2393
(JP). KAWAMURA, Kiyoshi [JP/JP]; Pfizer Global Re-
search and Development, 2, Aza 5-gochi, Taketoyo-cho,
Chita-gun, Aichi-ken 470-2393 (JP). KATO, Tomoki
[JP/JP]; Pfizer Global Research and Development, 2, Aza

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

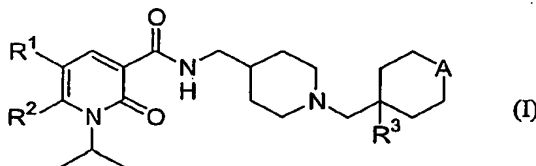
(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO,
SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN,
GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: 1-ISOPROPYL-2-OXO-1,2-DIHYDROPYRIDINE-3-CARBOXAMIDE DERIVATIVES HAVING 5-HT₄ RECEPTOR AGONISTIC ACTIVITY



(57) Abstract: This invention provides a compound of formula (I): wherein R¹ represents an alkyl group having from 1 to 4 carbon atoms or a halogen atom, R² represents an alkyl group having from 1 to 4 carbon atoms, R³ represents a hydrogen atom or a hydroxy group, and A represents an oxygen atom or a group of the formula -C(R⁴)(R⁵)- (in which R⁴ represents a hydrogen atom or an alkyl group having from

1 to 4 carbon atoms and R⁵ represents a hydroxy group or an alkoxy group having from 1 to 4 carbon atoms) or a pharmaceutically acceptable salts thereof. These compounds have 5-HT₄ receptor agonistic activity, and thus are useful for the treatment of gastroesophageal reflux disease, non-ulcer dyspepsia, functional dyspepsia, irritable bowel syndrome or the like in mammalian, especially humans.